EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	199	548/539.icls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:20
1.2	390	548/539.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:19
L3	554	548/557.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:19
L4	339	548/566.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:19
L5	341	548/571.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:20
L6	408	548/578.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:20
L7	365	548/406.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:20
L8	10	I1 and I3	US-PGPUB; USPAT	OR	ON	2006/04/09 11:22
L9	2	I1 and I4	US-PGPUB; USPAT	OR	ON	2006/04/09 11:23
L10	4	I1 and I5	US-PGPUB; USPAT	OR	ON	2006/04/09 11:24
L11	4	11 and 16	US-PGPUB; USPAT	OR	ON	2006/04/09 11:39
L12	6	l1 and I7	US-PGPUB; USPAT	OR	ON	2006/04/09 11:21
L13	3146	546/208.cc.s.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:21
L14	1217	546/208.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:21
L15	1	114 and 18	US-PGPUB; USPAT	OR	ON	2006/04/09 11:22
L16	2346	514/422	US-PGPUB; USPAT	OR	ON	2006/04/09 11:39
L17	2292	514/423	US-PGPUB; USPAT	OR	ON	2006/04/09 11:40
L18	88	514/433	US-PGPUB; USPAT	OR	ON	2006/04/09 11:40
L19	0	514/433.ccls	US-PGPUB; USPAT	OR	ON	2006/04/09 11:40
L20	80	514/433.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:40
L21	682	514/333.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:40

EAST Search History

L22	2230	514/326.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:41
L23	350	514/426.ccls.	US-PGPUB; USPAT	OR	ON	2006/04/09 11:41
L24	3	116 and 18 _	US-PGPUB; USPAT	OR	ON	2006/04/09 11:44
L25	6	117 and 18	US-PGPUB; USPAT	OR	ON	2006/04/09 11:42
L26	0	120 and 18	US-PGPUB; USPAT	OR	ON	2006/04/09 11:42
L27	2	l21 and l8	US-PGPUB; USPAT	OR	ON	2006/04/09 11:42
L28	1	122 and 18	US-PGPUB; USPAT	OR	ON	2006/04/09 11:42
L29	6	I23 and I8	US-PGPUB; USPAT	OR	ON	2006/04/09 11:42
L30	25	116 and 11	US-PGPUB; USPAT	OR	ON	2006/04/09 11:44

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10/518,543 YONG CHU 4-9-2006
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                USPAT2
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                IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
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                IPC 8 in the WPI family of databases including WPIFV
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        JAN 30
                Saved answer limit increased
NEWS 10 JAN 31
                Monthly current-awareness alert (SDI) frequency
                 added to TULSA
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                visualization results
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                The IPC thesaurus added to additional patent databases on STN
NEWS 14 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 15 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 16 FEB 28 MEDLINE/LMEDLINE reload improves functionality
NEWS 17 FEB 28
                TOXCENTER reloaded with enhancements
NEWS 18 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
                property data
NEWS 19 MAR 01 INSPEC reloaded and enhanced
NEWS 20 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 21 MAR 08 X.25 communication option no longer available after June 2006
NEWS 22 MAR 22 EMBASE is now updated on a daily basis
NEWS 23 APR 03
                New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 24 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
                thesaurus added in PCTFULL
NEWS 25 APR 04 STN AnaVist $500 visualization usage credit offered
NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
             CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
              V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
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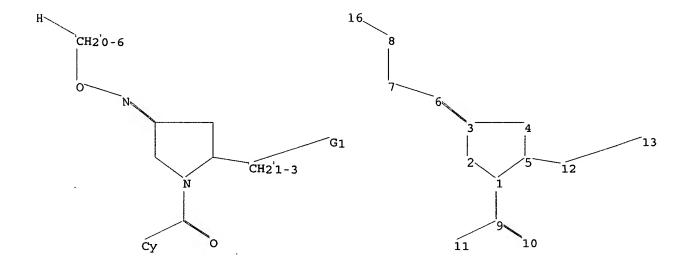
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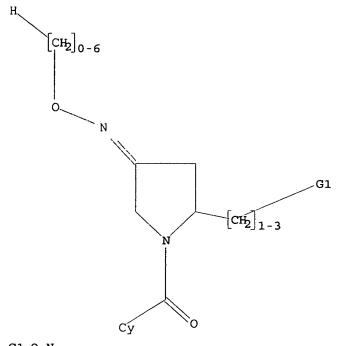
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chain nodes :
6  7  8  9  10  11  12  13  16
ring nodes :
1  2  3  4  5
chain bonds :
1-9  3-6  5-12  6-7  7-8  8-16  9-10  9-11  12-13
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-9  2-3  3-4  3-6  4-5  6-7  9-10  9-11  12-13
exact bonds :
5-12  7-8  8-16
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G1:0,N

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Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 16:CLASS Generic attributes:
11:
Saturation: Unsaturated
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR
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G1 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:31:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40 TO ITERATE

100.0% PROCESSED 40 ITERATIONS

2 ANSWERS

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS: 421 TO 1179

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:31:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 871 TO ITERATE

100.0% PROCESSED 871 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L3 18 SEA SSS FUL L1

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L4 2 L3

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2005:977015 CAPLUS
143:267237
Method for preparing pyrrolidine oximes
Nadler, William; Pupowicz, Doris
Applied Research Systems Ars Holding N. V., Neth.
Antilles
PCT Int. Appl., 45 pp.
CODEN: PIXXD2
Patent
English
1

L4 ANSWER 1 OF 2 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: INVENTOR(S): PATENT ASSIGNEE(S):

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION

TE	NI .	MIUK	MAII	UN:															
	PAT	TENT	NO.			KIN	D	DATE			APPL	I CAT	ION	NO.		D.	ATE		
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	WO 2005082848			A2		2005	0909		WO 2	005-	EP50	852		20050228					
	WO	2005	0828	48		A3		2005	1201										
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			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GH,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK.	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	HW,	MX,	MZ.	NA.	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
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								BF,											

MR, NE, SN, TD, TG PRIORITY APPLN. INFO.:

EP 2004-100773 A 20040226

OTHER SOURCE(S):

ZW

MARPAT 143:267237

R10- N

The invention relates to the synthesis of pyrrolidine oximes I [R is (un)substituted 3- or 5-oxadiazolyl, a carbamoyl group or (CH2)1-3-X-R3, where X is O or an imino group and R3 is H, alkyl, alkylaleyl, alkylheteroaryl, aryl or heteroaryl; R1 is H or alkyl; R2 is aryl, heteroaryl, cycloalkyl or cycloalkenyl), which are useful in the timent

ment and/or prevention of preterm labor, premature birth and dysmenorrhea.

Thus, (25)-I (R = CH2CHPhOR, R1 = Me, R2 = 2'-methyl-1,1-biphenyl-4-yl)
was prepared from 4-hydroxy-L-proline by acylation with 2'-methyl-1,1biphenyl-4-carbonyl chloride, oxidation with pyridine-sulfur trioxide
complex, oximation with H2NOMe.HCl, and amidation with
2-amino-1-phenylethanol.
643001-53-09
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

IT

L4 ANSWER 2 OF 2
ACCESSION NUMBER:
DOCUMENT NUMBER:
1140:93917
Preparation of pyrrolidine derivatives as oxytocin
antagonists
JORANG-Lebrun, Catherine; Dorbais, Jerome;
Quattropani, Anna; Schwarz, Matthias; Valognes,
Delphine
PATENT ASSIGNEE(S):
Applied Research Systems Ars Holding N.V., Neth.
Antilles
POCUMENT TYPE:
LANGUAGE:
FANTLY ACC. NUM. COUNT:
FAMELY ACC. NUM. COUNT:
PATENT INFORMATION:
1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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		GM,	HR.	HU.	ID,	IL.	IN,	IS.	JP.	KE	KG.	KP.	KR.	KZ.	LC.	LK.	LR.
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L	S 2006	0040	20		A1		2006	0105									
PRIORI	TY APP	LN.	INFO	.:					1	EP 2	2002-	1007	84		A 2	0020	705
											2002-						

OTHER SOURCE(S): MARPAT 140:93917

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(Preparation)
(method for prepg. pyrrolidine oximes)
643001-53-0 CAPLUS
3-Pyrrolidinone, 1-{(1,1'-biphenyl]-4-ylcarbonyl)-5-(hydroxymethyl)-,
3-(O-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

(Continued) ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

The title compds. I [Rl = H or alkyl; R2 = H, alkyl, (substituted)aryl, (substituted)heteroaryl, etc.; R3 = aryl or heteroaryl; X = 0 or (substituted)amino; n = 1-3] were prepared as oxytocin antagonists for

the prevention and/or treatment of preterm labor, premature birth or dysmenorrhea. Thus, reaction of 1-tert-buty1-2-Me (2S)-4-(methoxyimino)-1,2-pyrrolidine-dicarboxylate (preparation given) with 2'-methyl[1,1'-biphenyl]4-carboxylic acid followed by hydrolysis and reduction gave compound II.

latter inhibits oxytocin mediated Ca2+-mobilization with IC50 = 0.03 µM. Pharmaceutical compns. containing I are described. 643001-53-0P 643001-56-3P 643001-57-4P 643001-64-3P

643001-64-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrrolidine derivs. as oxytocin antagonists) 643001-53-0 CAPLUS
3-Pyrrolidinone, 1-{[1,1'-bipheny1]-4-ylcarbony1}-5-(hydroxymethy1)-, 3-(O-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-56-3 CAPLUS
Acetic acid, [((2S)-1-((1,1'-biphenyl)-4-ylcarbonyl)-4-(methoxyimino)-2pyrrolidinyl|methoxyl-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

643001-57-4 CAPLUS Acetic acid, [(1/25)-1-([1,1'-biphenyl)-4-ylcarbonyl)-4-(methoxyimino)-2-pyrrolidinyl)methoxyj- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-64-3 CAPLUS

3-Pyrrolidinone, 5-(aminomethyl)-1-([1,1'-biphenyl]-4-ylcarbonyl)-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

643001-55-2 CAPLUS
3-Pyrrolidinome, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(hydroxymethyl)-,
3-(O-methyloxime), (3E,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

643001-58-5 CAPLUS
Acetamide, 2-[{(25)-1-{{1,1*-biphenyl}-4-ylcarbonyl}-4-(methoxyimino)-2-pyrrolidinyl]methoxy}-N-[2-(1-pyrrolidinyl)ethyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

643001-59-6 CAPLUS
3-Pytrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(methoxymethyl)-,
3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

643001-52-9P 643001-54-1P 643001-55-2P 643001-58-5P 643001-59-6P 643001-61-0P 643001-62-1P 643001-65-4P 643001-67-6P 643001-69-8P 643001-70-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therape

(Continued)

(preparation of pyrrolidine derivs. as oxytocin antagonists) 643001-52-9 CAPLUS 3-Pyrrolidinone, 5-[hydroxymethyl]-1-((2'-methyl[1,1'-biphenyl]-4-yl)carbonyl]-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-54-1 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(hydroxymethyl)-,
3-(0-methyloxime), (32,55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN Absolute stereochemistry.
Double bond geometry unknown. (Continued)

643001-61-0 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-([(4-methoxyphenyl)amino]methyl]-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-62-1 CAPLUS 3-Pyrrolidinome, 1-([1,1'-biphenyl]-4-ylcarbonyl]-5-([(2-(1H-pyrazol-1-ylechyl]aminojmethyl]-, 3-(O-methyloxime), (55)- (5C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-65-4 CAPLUS
Acetamide, N-{{{2S}-1-({1,1*-biphenyl}-4-ylcarbonyl)-4-(methoxyimino}-2-pyrrolidinyl}methyl}- {9CI} (CA INDEX NAME)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry. Double bond geometry unknown

643001-67-6 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(2-hydroxyethyl)-,
3-(0-methyloxime), (55)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

643001-69-8 CAPLUS
Carbonic acid, [(25)-1-([1,1'-biphenyl]-4-ylcarbonyl)-4-(methoxyimino)-2pyrrolidinyl|methyl 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 643001-70-1 CAPLUS
CN 3-Pyrrolidinone,
1-([1,1'-biphenyl]-4-ylcarbonyl)-5-{(carboxyoxy)methyl]-,

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

643001-88-1 CAPLUS
3-Pyrrolidinone, l-[[1,1'-biphenyi]-4-ylcarbonyl)-5-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl)-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

REFERENCE COUNT:

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FORMAT

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN 3-(O-methyloxime), (5s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

(Continued)

643001-75-6P 643001-76-7P 643001-88-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidine derivs. as oxytocin antagonists)
643001-75-6 CAPLUS
3-Pyrrolidinone, 1-{(1,1*-biphenyl]-4-ylcarbonyl)-5-{[[1,1-dimethylethyl]dimethylsilyl]oxy]methyl]-, 3-(O-methyloxime), [55]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

643001-76-7 CAPLUS
3-Pyrrolidincne, 1-{{1,1'-biphenyl}-4-ylcarbonyl}-5-{{({methylsulfonyl})oxy]methyl}-, 3-{O-methyloxime}, {5S}-{9CI}} (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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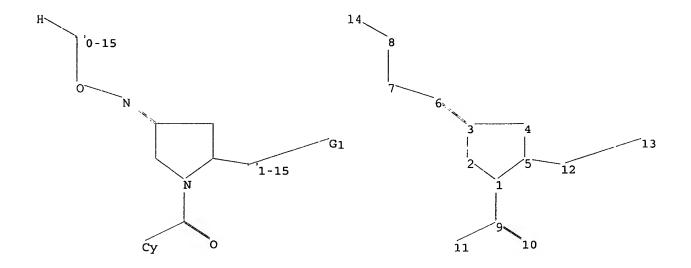
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http://www.cas.org/ONLINE/UG/regprops.html

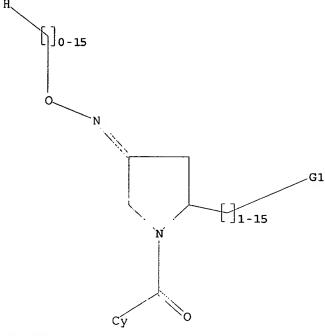
Uploading C:\Program Files\Stnexp\Queries\10518543\10518543a.str



```
chain nodes :
6 7 8 9 10 11 12 13 14
ring nodes :
1 2 3 4 5
chain bonds :
1-9 3-6 5-12 6-7 7-8 8-14 9-10 9-11 12-13
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-9 2-3 3-4 3-6 4-5 6-7 7-8 9-10 9-11 12-13
exact bonds :
5-12 8-14
G1:0,N
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS
Generic attributes :
11:
Saturation
                    : Unsaturated
```

L5 STRUCTURE UPLOADED

=> d L5 HAS NO ANSWERS L5 STR



G1 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 10:36:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40 TO ITERATE

100.0% PROCESSED 40 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 421 TO 1179
PROJECTED ANSWERS: 11 TO 389

L6

10 SEA SSS SAM L5

=> s l1 full

FULL SEARCH INITIATED 10:36:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 871 TO ITERATE

100.0% PROCESSED 871 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L7 18 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 166.94 347.07

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE ENTRY SESSION 0.00 -1.50

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FILE COVERS 1907 - 9 Apr 2006 VOL 144 ISS 16 FILE LAST UPDATED: 7 Apr 2006 (20060407/ED)

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=> s 17

L8 2 L7

=> d ibib abs hitstr tot

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:977015 CAPLUS
DOCUMENT NUMBER: 143:267237
Method for preparing pyrroli
INVENTOR(S): Nadler, William: Pupowicz, Do Method for preparing pyrrolidine oximes
Nadler, William: Pupowicz, Doris
Applied Research Systems Ars Holding N. V., Neth.
Antilles
PCT In. ne. PATENT ASSIGNEE (S):

PCT Int. Appl., 45 pp. CODEN: PIXXD2

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 2005082848 A2 20050909 M0 2005-EP50852 20050228 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, LL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ, AA, NI, NO, NZ, OM, PG, PH, PL, PT, PG, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, WO 2005082848 WO 2005082848 ZW RW: BM, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.

EP 2004-100773 A 20040226

OTHER SOURCE(S):

MARPAT 143:267237

R10-N

CO-R2

The invention relates to the synthesis of pyrrolidine oximes I [R is [un]substituted 3- or 5-oxadiazolyl, a carbamoyl group or (CH2)1-3-X-R3, where X is O or an imino group and R3 is H, alkyl, alkylateryl, alkylheteroaryl, aryl or heteroaryl; R1 is H or alkyl: R2 is aryl, heteroaryl, cycloalkyl or cycloalkenyl), which are useful in the timent

tment and/or prevention of preterm labor, premature birth and dysmenorrhea. Thus, (25)-I (R = CH2CHPhOH, Rl = Me, R2 = 2'-methyl-1,1-biphenyl-4-yl) was prepared from 4-hydroxy-L-proline by acylation with 2'-methyl-1,1-biphenyl-4-carbonyl chloride, oxidation with pyridine-sulfur trioxide complex, oximation with H2NOMe.HCl, and amidation with 42-methyl-1,1-biphenyl-1-phenylethanol.

643001-53-0P

IT

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

L8 ANSWER 2 OF 2
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
COURCENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT SNOWATION:
FAMILY ACC. NUM. COUNT:
FAMILY

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.		KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
	~			-									-		
WO 2004	005249		A1		2004	0115		WO 2	003-	EP50	286		2	0030	704
W:	AE, AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	CO, CR,	CU,	CZ,	DE,	DK,	DM.	DZ.	EC,	EE.	ES.	FI.	GB,	GD.	GE.	GH,
	GM, HR,	HU,	ID,	IL,	IN,	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.	LK.	LR.
	LS, LT,														
	PH, PL,														
	TZ, UA,														,
RW:	GH, GM,										ZM.	ZW.	AM.	AZ.	BY.
	KG, KZ,														
	FI, FR,														
	BF, BJ,														
CA 2487	532														
AU 2003	254498		Δ1		2004	0123		AII 2	003-	2544	98		5	0030	704
BR 2003	012586		Δ.		2005	0412		BB 2	003-	125R	6			0030	704
EP 1532	109		Δ1		2005	0525		EP 2	003-	7626	92		,	0030	704
	AT, BE,														
	IE, SI,														
CM 1678	576					1005									
	533828														
NO 2005	000612		12		2005	2272		UF 2	004-	210,	63			0030	704
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03 2000	004020		A1		2006	0103									
PRIORITY APP	LM. INFO							LP 2	002-	1007	54		4 2	0020	105
								wn 2	003-	EP50:	205			0030	704
								2	~~3-	GF 30.	200	,		0030	/ V 4

OTHER SOURCE(S): MARPAT 140:93917 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS ON SIN (Continued)
(Preparation)
(method for prepg. pyrrolidine oximes)
643001-53-0 CAPLUS
3-Pytrolidinone, 1-{[1,1'-biphenyl}-4-ylcarbonyl}-5-(hydroxymethyl)-,
3-(O-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. I [R1 = H or alkyl; R2 = H, alkyl, (substituted)aryl, (substituted)heteroaryl, etc.; R3 = aryl or heteroaryl; X = O or (substituted)amıno; n = 1-3] were prepared as oxytocin antagonists for

prevention and/or treatment of preterm labor, premature birth or dysmenorrhea. Thus, reaction of 1-tert-buty1-2-Me (2S)-4-(methoxyimino)-1.2-pyrcolidine-dicarboxylate (preparation given) with methyl[1,1'-biphenyl]-4-carboxylic acid followed by hydrolysis and reduction gave compound II.

latter inhibits oxytocin mediated Ca2+-mobilization with IC50 = 0.03 μ M. Pharmaceutical compns. containing I are described. 643001-53-0P 643001-56-3P 643001-57-4P 643001-64-3P

643001-64-3P
RL: PAC (Pharmacological activity): RCT (Reactant): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): RACT (Reactant or reagent): USES (Usea) (preparation of pyrrolidine derivs. as oxytocin antagonists) 643001-53-0 CAPLUS
3-Pyrrolidinone, 1-((1,1'-biphenyl)-4-ylcarbonyl)-5-(hydroxymethyl)-, 3-(O-methyloxime). (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

643001-56-3 CAPLUS
Acetic acid, [[(28)-1-({1,1'-biphenyl})-4-ylcarbonyl)-4-(methoxyimino)-2pyrrolidinyl]methoxyj-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-57-4 CAPLUS
Acetic acid, [[(25)-1-([[,]'-biphenyl]-4-ylcarbonyl)-4-(methoxyimino)-2pyrrolidinyl]methoxyj- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-64-3 CAPLUS
3-Pyrrolidinone, 5-(aminomethyl)-1-([1,1'-biphenyl]-4-ylcarbonyl)-,
3-(O-methyloxime), (55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

643001-55-2 CAPLUS
3-Byrrolidinome, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(hydroxymethyl)-,
3-(O-methyloxime), (3E,5s)- (9C1) (CA INDEX NAME) RN CN

Absolute stereochemistry.
Double bond geometry as shown.

Absolute stereochemistry.
Double bond geometry unknown.

643001-59-6 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(methoxymethyl)-,
3-(0-methyloxime), (5s)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

643001-52-9P 643001-54-1P 643001-55-2P 643001-58-5P 643001-59-6P 643001-61-0P 643001-62-1P 643001-65-6P 643001-67-6P 643001-69-8P 643001-70-1P

RI: PAC (Phermacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of pyrrolidine derivs. as oxytocin antagonists)
643001-52-9 CAPLUS
3-Pyrrolidinone, 5-(hydroxymethyl)-1-[(2'-methyl[1,1'-biphenyl]-4-yl)carbonyl]-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

643001-54-1 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(hydroxymethyl)-,
3-(O-methyloxime), (32,58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN Absolute stereochemistry.
Double bond geometry unknown. (Continued)

643001-61-0 CAPLUS
3-Pyrrolidinone, 1-{[1,1'-bipheny1]-4-ylcarbony1}-5-[[4-methoxypheny1]amino]methy1]-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-62-1 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-[[[2-(1H-pyrazol-1-yl)ethyl]amino]methyl]-, 3-(0-methyloxime), (58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

 $643001-65-4 \quad CAPLUS \\ Acetamide, \ N-\{\{\{2S\}-1-(\{1,1'-biphenyl\}-4-ylcarbonyl\}-4-\{methoxyimino\}-2-pyrrolidinyl\}methyl\}- \ (9CI) \quad (CA \ INDEX \ NAME)$

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry. Double bond geometry unknown

643001-67-6 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-(2-hydroxyethyl)-,
3-(0-methyloxime), (55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

643001-69-8 CAPLUS
Carbonic acid, [(2S)-1-([1,1'-biphenyl]-4-ylcarbonyl)-4-(methoxyimino)-2pyrrolidinyl|methyl 1,1-dimethylethyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 643001-70-1 CAPLUS
CN 3-Pyrrolidinone,
1-{{1,1'-biphenyl}-4-ylcarbonyl}-5-{{carboxyoxy}methyl}-,

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

643001-88-1 CAPLUS
3-Pyrrolidinone, 1-([1,1'-biphenyl]-4-ylcarbonyl)-5-[2-([(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE 2

FORMAT

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN 3-(0-methyloxime), (5S)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.
Double bond geometry unknown.

Absolute stereochemistry. Double bond geometry unknown.

643001-76-7 CAPLUS
3-Pyrrolidinone, 1-{{1,1'-biphenyl}-4-ylcarbonyl}-5[{(methylsulfonyl)oxy]methyl}-, 3-{O-methyloxime}, (5S}- {9CI} (CA INDEX uname)

Absolute stereochemistry. Double bond geometry unknown.

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.68	357.75
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -1.50	SESSION -3.00

STN INTERNATIONAL LOGOFF AT 10:37:07 ON 09 APR 2006